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NEWS 6 JUN 29 EPFULL adds Simultaneous Left and Right Truncation  
(SLART) to AB, MCLM, and TI fields  
NEWS 7 JUL 09 PATDPAFULL adds Simultaneous Left and Right  
Truncation (SLART) to AB, CLM, MCLM, and TI fields  
NEWS 8 JUL 14 USGENE enhances coverage of patent sequence location  
(PSL) data  
NEWS 9 JUL 27 CA/Caplus enhanced with new citing references  
NEWS 10 JUL 16 GBFULL adds patent backfile data to 1855  
NEWS 11 JUL 21 USGENE adds bibliographic and sequence information  
NEWS 12 JUL 28 EPFULL adds first-page images and applicant-cited  
references  
NEWS 13 JUL 28 INPADOCDB and INPAFAMDB add Russian legal status data

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,  
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SINCE FILE

TOTAL

ENTRY

SESSION

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0.22

0.22

FILE 'REGISTRY' ENTERED AT 11:38:53 ON 06 AUG 2009

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DICTIONARY FILE UPDATES: 4 AUG 2009 HIGHEST RN 1172694-04-0

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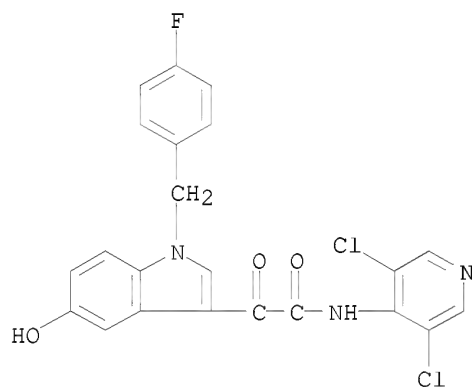
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predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=> s 257892-33-4  
L1 1 257892-33-4  
(257892-33-4/RN)

=> d L1 str cn

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2009 ACS on STN



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

CN 1H-Indole-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-[(4-  
fluorophenyl)methyl]-5-hydroxy- $\alpha$ -oxo- (CA INDEX NAME)

OTHER NAMES:

CN AWD 12-281  
CN GSK 842470  
CN GW 842470

=> file caplus medline embase biosis  
COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 11:41:10 ON 06 AUG 2009  
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=> s 257892-33-4  
L2 86 257892-33-4

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=> s AWD 12-281
L3      119 AWD 12-281
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=> s GSK 842470
L4          6 GSK 842470
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=> s GW 842470
L5      10 GW 842470
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=> s L2 or L3 or L4 or L5
L6      140 L2 OR L3 OR L4 OR L5
```

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=> dup rem L6
PROCESSING COMPLETED FOR L6
L7          104 DUP REM L6 (36 DUPLICATES REMOVED)
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=> s allergic or dermal or dermatitis or skin
L8      1873081 ALLERGIC OR DERMAL OR DERMATITIS OR SKIN
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=> s L7 and L8
L9          38 L7 AND L8
```

```
=> s topical
L10      297844 TOPICAL
```

```
=> s L9 and L10
L11      17 L9 AND L10
```

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=> d L11 1-17 ibib abs
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L11 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2007:1242672 CAPLUS  
DOCUMENT NUMBER: 147:491665  
TITLE: dermatological and cosmetological compositions  
containing MC1R agonists for modulating melanogenesis  
INVENTOR(S): Fisher, David E.; D'Orazio, John; Khaled, Mehdi  
PATENT ASSIGNEE(S): Dana-Farber Cancer Institute, Inc., USA  
SOURCE: PCT Int. Appl., 123pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007123699	A1	20071101	WO 2007-US7935	20070329

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-787552P P 20060330  
US 2006-841739P P 20060901

AB The present invention provides compns. comprising an MCLR agonist and methods using these compns. for inducing or inhibiting UV-independent pigmentation of human skin and/or for enhancing UV-dependent pigmentation of human skin.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:331227 CAPLUS

DOCUMENT NUMBER: 146:308239

TITLE: Highly selective phosphodiesterase 4 inhibitors for the treatment of allergic skin diseases and psoriasis

AUTHOR(S): Baeumer, Wolfgang; Hoppmann, Joachim; Rundfeldt, Chris; Kietzmann, Manfred

CORPORATE SOURCE: Department of Pharmacology, Toxicology, and Pharmacy, Foundation, University of Veterinary Medicine Hannover, Hannover, D-30559, Germany

SOURCE: Inflammation & Allergy: Drug Targets (2007), 6(1), 17-26

CODEN: IADTAQ; ISSN: 1871-5281

PUBLISHER: Bentham Science Publishers Ltd.

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review. The phosphodiesterase (PDE) 4 is the predominant cAMP degrading enzyme in a variety of inflammatory cells including eosinophils, neutrophils, macrophages, T cells and monocytes. In addition, this enzyme is expressed in non-immune cells such as keratinocytes and fibroblasts. Highly selective PDE4 inhibitors are currently under evaluation for the treatment of asthma and/or chronic obstructive pulmonary disease. Due to the broad anti-inflammatory/immunomodulatory action of PDE4 inhibitors, it has been proposed that PDE4 inhibitors might also be efficacious for skin disorders such as atopic dermatitis. Consequently, PDE4 inhibitors including cilomilast and AWD 12-281 have been tested in several models of allergic and irritant skin inflammation. These PDE4 inhibitors displayed strong anti-inflammatory action in models of allergic contact dermatitis in mice, in the arachidonic acid induced skin inflammation in mice and in ovalbumin sensitized guinea pigs. The determination of cytokines in skin homogenates revealed that both Th1 as well as Th2 cytokines are suppressed by PDE4 inhibitors, indicating an anti-inflammatory activity in both the Th2 dominated acute phase as well as the Th1 dominated chronic phase of atopic dermatitis. Due to

the suppression of Th1 cytokines, activity can also be expected in psoriasis. Results of early clin. trials with both topically (cipamfylline, CP80,633) and systemically (CC-10004) active PDE4 inhibitors demonstrated efficacy in atopic dermatitis and in the case of CC-10004, also in psoriasis. AWD 12-281 (GW 842470) is currently under clin. evaluation for the topical treatment of atopic dermatitis. Results concerning clin. efficacy of this potent and selective PDE4 inhibitor are anxiously awaited.

REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:226501 CAPLUS

DOCUMENT NUMBER: 144:267237

TITLE: The phosphodiesterase 4 inhibitor AWD 12-281 is active in a new guinea-pig model of allergic skin inflammation predictive of human skin penetration and suppresses both Th1 and Th2 cytokines in mice

AUTHOR(S): Hoppmann, Joachim; Baeumer, Wolfgang; Galetzka, Christin; Hoefgen, Norbert; Kietzmann, Manfred; Rundfeldt, Chris

CORPORATE SOURCE: Department of Pharmacology, elbion AG, Radebeul, D-01445, Germany

SOURCE: Journal of Pharmacy and Pharmacology (2005), 57(12), 1609-1617

CODEN: JPPMAB; ISSN: 0022-3573

PUBLISHER: Pharmaceutical Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The selective phosphodiesterase 4 (PDE4) inhibitor AWD 12-281 is structurally optimized for topical administration. It has potent effects in models of lung inflammation if administered as a dry powder inhalation. It has also demonstrated its anti-inflammatory property in a mouse model of cutaneous inflammation after topical administration. The aim of this study was to evaluate whether AWD 12-281 may be capable of penetrating human skin. Therefore a new guinea-pig model of allergic skin inflammation had to be developed. In ovalbumin-sensitized guinea-pigs, intracutaneous administration of ovalbumin results in a rapid development of allergic skin wheals. Topically administered AWD 12-281 was capable of reducing the development of wheals, indicating that this compound can penetrate the stratum corneum of guinea-pig skin as a predictor of human skin penetration. A secondary aim was the evaluation of a T cell subtype preference of AWD 12-281 since PDE4 inhibitors are said to preferentially inhibit Th2-type cytokines. Therefore, the effects of AWD 12-281 on a broad spectrum of Th1- and Th2-type cytokines were studied in tissue homogenates after allergen challenge in sensitized mice and in supernatants of anti CD3/anti-CD28-stimulated peripheral blood mononuclear cells (PBMCs). In both models, AWD 12-281 suppressed both T cell subtype cytokines indicating a broad spectrum activity of AWD 12-281. A further issue was to determine the duration of action and the concentration-response relation of the topical activity of AWD 12-281 using a model of acute local inflammation - the arachidonic-acid-induced mouse ear edema. The compound exhibited a dose-dependent effect with a minimally effective concentration of 0.3%; after repeated administration the minimally effective concentration was

0.03%. A single administration of a 3% solution resulted in significant suppression of inflammation even 48 h after treatment. In conclusion, our results indicate that AWD 12-281 is a very promising drug candidate not only for the treatment of lung inflammation using inhalative administration but also for the treatment of atopic dermatitis.

OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

L11 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:149262 CAPLUS

DOCUMENT NUMBER: 144:239931

TITLE: Pharmaceutical compositions for the treatment of respiratory and gastrointestinal disorders

INVENTOR(S): Jung, Birgit; Himmelsbach, Frank

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH & Co. KG

SOURCE: PCT Int. Appl., 321 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006015775	A2	20060216	WO 2005-EP8385	20050803
WO 2006015775	A3	20070518		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20060035893	A1	20060216	US 2005-189643	20050726
CA 2575541	A1	20060216	CA 2005-2575541	20050803
EP 1784224	A2	20070516	EP 2005-773706	20050803
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
JP 2008509177	T	20080327	JP 2007-525227	20050803
US 20090017036	A1	20090115	US 2008-202784	20080902
PRIORITY APPLN. INFO.:			EP 2004-18808	A 20040807
			US 2005-189643	A1 20050726
			WO 2005-EP8385	W 20050803

OTHER SOURCE(S): MARPAT 144:239931

AB The present invention relates to novel pharmaceutical compns. comprising at least 1 EGFR kinase inhibitor and at least one addnl. active compound selected from  $\beta$ -2 mimetics, steroids, PDE-IV inhibitors, p38 MAP kinase inhibitors, NK1 antagonists and endothelin-antagonists, processes for preparing the compns. and the use thereof as drugs in the treatment of respiratory or gastrointestinal complaints, as well as inflammatory diseases of the joints, the skin or the eyes. Thus, an inhalable powder contained an EGFR kinase inhibitor 150, formoterol fumarate dihydrate 50, and lactose 12,300 mg/capsule.

L11 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2004:203704 CAPLUS  
 DOCUMENT NUMBER: 140:229455  
 TITLE: Combination of glucocorticoids and PDE-4-inhibitors  
 for treating respiratory diseases, allergic  
 diseases, asthma and COPD  
 INVENTOR(S): Locher, Mathias; Hermann, Robert  
 PATENT ASSIGNEE(S): Viatris G.m.b.H. & Co. K.-G., Germany  
 SOURCE: PCT Int. Appl., 26 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004019984	A1	20040311	WO 2003-EP8607	20030804
W: AU, BR, CA, CN, CO, CZ, GE, HR, ID, IL, IN, JP, KR, LT, LV, MD, MK, MX, NO, NZ, PL, SG, UA, US, UZ, YU, ZA				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2492645	A1	20040311	CA 2003-2492645	20030804
AU 2003255365	A1	20040319	AU 2003-255365	20030804
AU 2003255365	B2	20090219		
EP 1526870	A1	20050504	EP 2003-790851	20030804
EP 1526870	B1	20070502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, SK				
CN 1674939	A	20050928	CN 2003-819057	20030804
CN 1308038	C	20070404		
JP 2005539042	T	20051222	JP 2004-531853	20030804
AT 361076	T	20070515	AT 2003-790851	20030804
ES 2285238	T3	20071116	ES 2003-790851	20030804
MX 2005001573	A	20050425	MX 2005-1573	20050209
US 20050288265	A1	20051229	US 2005-523802	20050209
IN 2005KN00155	A	20060421	IN 2005-KN155	20050209
NO 2005001212	A	20050308	NO 2005-1212	20050308
HR 2005000224	B1	20071231	HR 2005-224	20050308
HK 1078463	A1	20071026	HK 2005-110373	20051118
PRIORITY APPLN. INFO.:				
			DE 2002-10236688	A 20020809
			WO 2003-EP8607	W 20030804
AB The invention relates to a novel combination of a glucocorticoid, especially loteprednol, and at least one phosphodiesterase-4 inhibitor (PDE-4-inhibitor), especially hydroxyindole-derivative N-(3,5-dichloropyridine-4-yl)-2-[1-(4-fluorobenzyl)-5-hydroxyindole-3-yl]-2-oxoacetamide, for a simultaneous, sequential or sep. administration in the treatment of respiratory diseases, allergic diseases, asthma and chronic obstructive pulmonary diseases (COPD). Formulation of glucocorticoids and PDE-4-inhibitors can be prepared sep. and applied at the same time or at different times during the day; also combinations can be formulated.				
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)				
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT				

L11 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2004:130977 CAPLUS  
 DOCUMENT NUMBER: 140:281023  
 TITLE: Anti-inflammatory potential of the selective

phosphodiesterase 4 inhibitor  
N-(3,5-dichloro-pyrid-4-yl)-[1-(4-fluorobenzyl)-5-hydroxy-indole-3-yl]-glyoxylic acid amide (AWD 12-281), in human cell preparations

AUTHOR(S): Draheim, Regina; Egerland, Ute; Rundfeldt, Chris  
CORPORATE SOURCE: Departments of Pharmacology and Molecular Biology, Elbion AG, Radebeul, Germany  
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2004), 308(2), 555-563  
CODEN: JPETAB; ISSN: 0022-3565  
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB AWD 12-281 is a potent ( $IC_{50} = 9.7$  nM) and highly selective inhibitor of the phosphodiesterase 4 (PDE4) isoenzyme with low affinity to the high-affinity rolipram-binding site. The compound was optimized for topical treatment of asthma, chronic obstructive pulmonary disease (COPD), and allergic rhinitis. The aim of the present study was to assess the effect of AWD 12-281 in human inflammatory cells. Peripheral blood mononuclear cells (PBMCs), diluted whole blood, and human nasal polyp cells derived from surgically resected nasal polyps from patients with polyposis comprise sources of target tissue cells that can be used to predict anti-inflammatory effects in patients. AWD 12-281 was capable of suppressing the production of cytokines in stimulated PBMCs: interleukin-2 (IL-2, phytohemagglutinin stimulation), IL-5 (Con A stimulation), IL-5 and IL-4 (anti-CD3/anti-CD28 co-stimulation), and lipopolysaccharide-stimulated release of tumor necrosis factor  $\alpha$  (TNF $\alpha$ ). The corresponding values for half-maximum inhibition,  $EC_{50}$ , for AWD 12-281 were within a narrow range (46-121 nM). Comparing the effect of AWD 12-281 with roflumilast, cilomilast (SB 207499), rolipram (RPR-73401), and 1-(3-nitrophenyl)-3-(4-pyridylmethyl)pyrido[2,3-d]pyrimidin-2,4(1H,3H)-dione (RS-25344-000), it could be shown that the PDE4 inhibitory activity was closely correlated with inhibitory potential as measured by the above-described assays. AWD 12-281 was also shown to suppress TNF $\alpha$  release in dispersed nasal polyps ( $EC_{50} = 111$  nM) and in diluted whole blood ( $EC_{50} = 934$  nM). The reduced activity in human blood may be related to high plasma protein binding. Currently, phase II clin. studies are under way to evaluate the therapeutic potential of AWD 12-281 in asthma, COPD, and allergic rhinitis.

OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)  
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:60309 CAPLUS  
DOCUMENT NUMBER: 140:105273  
TITLE: Topical treatment of skin diseases  
INVENTOR(S): Rundfeldt, Chris; Kietzmann, Manfred; Hoppmann, Joachim; Baeumer, Wolfgang; Kuss, Hildegard; Hoefgen, Norbert  
PATENT ASSIGNEE(S): Elbion AG, Germany  
SOURCE: PCT Int. Appl., 48 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1



## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004006920	A1	20040122	WO 2003-EP7514	20030710
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20040038958	A1	20040226	US 2003-611649	20030701
CA 2492093	A1	20040122	CA 2003-2492093	20030710
AU 2003254332	A1	20040202	AU 2003-254332	20030710
AU 2003254332	B2	20090108		
BR 2003012696	A	20050426	BR 2003-12696	20030710
EP 1531818	A1	20050525	EP 2003-763810	20030710
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
CN 1681500	A	20051012	CN 2003-821520	20030710
JP 2005537262	T	20051208	JP 2004-520586	20030710
NZ 537482	A	20060929	NZ 2003-537482	20030710
ZA 2005000108	A	20050223	ZA 2005-108	20050106
MX 2005000486	A	20050722	MX 2005-486	20050111
NO 2005000718	A	20050401	NO 2005-718	20050210
PRIORITY APPLN. INFO.:			US 2002-395221P	P 20020711
			WO 2003-EP7514	W 20030710
OTHER SOURCE(S):	MARPAT 140:105273			
AB	The present invention relates to a method for the treatment of an inflammatory and/or allergic skin disease comprising topically administering a substituted hydroxy indole which is a phosphodiesterase 4 inhibitor. Examples are provided of the topical effectiveness of AWD 12-281 and cilomilast in dermal immunol. inflammation.			
REFERENCE COUNT:	4	THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT		
L11	ANSWER 8 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN			
ACCESSION NUMBER:	2003:695438 CAPLUS			
DOCUMENT NUMBER:	140:87294			
TITLE:	AWD 12-281, a highly selective phosphodiesterase 4 inhibitor, is effective in the prevention and treatment of inflammatory reactions in a model of allergic dermatitis			
AUTHOR(S):	Baeumer, Wolfgang; Gorr, Gilbert; Hoppmann, Joachim; Ehinger, Andreas M.; Rundfeldt, Chris; Kietzmann, Manfred			
CORPORATE SOURCE:	Department of Pharmacology, Toxicology and Pharmacy, School of Veterinary Medicine, Hannover, D-30559, Germany			
SOURCE:	Journal of Pharmacy and Pharmacology (2003), 55(8), 1107-1114 CODEN: JPPMAB; ISSN: 0022-3573			
PUBLISHER:	Pharmaceutical Press			
DOCUMENT TYPE:	Journal			
LANGUAGE:	English			
AB	AWD 12-281			

(N-(3,5-dichloro-4-pyridinyl)-2-[1-(4-fluorobenzyl)-5-hydroxy-1H-indol-3-yl]-2-oxoacetamide), a phosphodiesterase 4 inhibitor, which is optimized for topical administration, was tested in a model of allergic dermatitis in mice. To obtain an allergic dermatitis, BALB/c mice were sensitized to toluene-2,4-diisocyanate (TDI). The allergic reaction was challenged by topical administration of TDI onto the mice ears. AWD 12-281 was tested for its anti-inflammatory potential by oral, i.p. and topical administration. The phosphodiesterase 4 inhibitor, cilomilast (SB 207499), and/or the corticosteroid, diflorasone diacetate, were used as reference compds. Given orally and i.p. 2 h before as well as 5 and 24 h after TDI challenge, AWD 12-281 showed no, or only a transient inhibition of the allergen-induced ear swelling, whereas cilomilast significantly inhibited this ear swelling. Applied topically onto the ears before TDI challenge, AWD 12-281, cilomilast and diflorasone diacetate caused total inhibition of ear swelling 24 h after challenge, confirmed by a decrease of the pro-inflammatory cytokines interleukin-4, interleukin-6 and macrophage inhibitory protein-2. Administered topically after TDI challenge as therapeutic intervention, AWD 12-281 and diflorasone diacetate caused significant inhibition of ear swelling; cilomilast failed to do so. These results indicate that topically administered AWD 12-281 may be potent in the prevention and treatment of allergic/inflammatory skin diseases.

L11 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:495906 CAPLUS

DOCUMENT NUMBER: 138:117605

TITLE: Effects of the phosphodiesterase 4 inhibitors SB 207499 and AWD 12-281 on the inflammatory reaction in a model of allergic dermatitis

AUTHOR(S): Baumer, Wolfgang; Gorr, Gilbert; Hoppmann, Joachim; Ehinger, Andreas M.; Ehinger, Britt; Kietzmann, Manfred

CORPORATE SOURCE: Toxicology and Pharmacy, Department of Pharmacology, School of Veterinary Medicine, Hanover, 30559, Germany

SOURCE: European Journal of Pharmacology (2002), 446(1-3), 195-200

CODEN: EJPHAZ; ISSN: 0014-2999

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The inhibitors of the phosphodiesterase 4, SB 207499 (cilomilast, c-4-cyano-4-(3-cyclopentyloxy-4-methoxyphenyl)-r-L-cyclohexane carboxylic acid) and AWD 12-281 (N-(3,5-dichloropyrid-4-yl)-[1-(4-fluorobenzyl)-5-hydroxyindole-3-yl]glyoxylic acid amide) were tested in a model of allergic dermatitis in mice. To obtain an allergic dermatitis, BALB/c mice were sensitized to toluene-2,4-diisocyanate. The allergic reaction was challenged by topical administration of toluene-2,4-diisocyanate onto the mice ears. Before challenge, two groups of mice were treated topically (ear skin) with SB 207499 or AWD 12-281. There was a significant ear swelling in toluene-2,4-diisocyanate-challenged mice ears 4, 8, 16, 24 and 48 h after challenge. SB 207499 and AWD 12-281 inhibited this swelling significantly 8, 16, 24 and 48 h after the challenge. For biochem. parameters and histol., ears were sampled from mice sacrificed 4, 8 and 16 h after the challenge. In homogenized tissue,

SB 207499 and AWD 12-281 inhibited significantly the secretion of interleukin 1 $\beta$  induced by toluene-2,4-diisocyanate 4 and 8 h after challenge. The cell influx (granulocytes) observed in the toluene-2,4-diisocyanate-challenged mice 8 and 16 h after challenge was nearly abolished by AWD 12-281 and SB 204799.

OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)  
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:420229 CAPLUS

DOCUMENT NUMBER: 138:18980

TITLE: AWD 12-281

AUTHOR(S): Kuss, H.; Hofgen, N.; Egerland, U.; Heer, S.; Marx, D.; Szelenyi, I.; Schupke, H.; Gasparic, A.; Olbrich, M.; Hempel, R.; Hartenhauer, H.; Krone, D.; Berthold, K.; Kronbach, T.; Rundfeldt, C.

CORPORATE SOURCE: Arzneimittelwerk Dresden GmbH, Radebeul, D-01445, Germany

SOURCE: Drugs of the Future (2002), 27(2), 111-116  
CODEN: DRFUD4; ISSN: 0377-8282

PUBLISHER: Prous Science

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review. Airway diseases such as bronchial asthma and chronic obstructive pulmonary disease (COPD) are chronic inflammatory diseases whose prevalence is increasing. Current research concerned with developing effective treatments for these conditions have focused on the search for alternatives to the standard corticosteroid antiinflammatory therapy. Selective phosphodiesterase 4 (PDE4) inhibitors have received a considerable amount of attention due to their ability to suppress the functions of several cell types involved in allergic and inflammatory disorders. The selective PDE4 inhibitor AWD 12-281 is the result of a pharmacophore-based synthesis program wherein the optimization process was supported by ligand-based drug design methods. AWD 12-281 was selected for further development for its high affinity and selectivity for the human PDE4 isoenzyme and due to its potent activity and excellent tolerability in models of allergic rhinitis, asthma and COPD, especially after topical treatment.

OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 11 OF 17 EMBASE COPYRIGHT (c) 2009 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2008300122 EMBASE

TITLE: Pompholyx: What's new?.

AUTHOR: Wollina, Uwe (correspondence)

CORPORATE SOURCE: Department of Dermatology and Allergology, Hospital Dresden-Friedrichstadt, Academic Teaching Hospital Dresden-Friedrichstadt, Friedrichstrasse 41, 01067 Dresden, Germany. wollina-uw@khdf.de

SOURCE: Expert Opinion on Investigational Drugs, (Jun 2008) Vol. 17, No. 6, pp. 897-904.

Refs: 54

ISSN: 1354-3784 CODEN: EOIDER

COUNTRY: United Kingdom

DOCUMENT TYPE: Journal; General Review; (Review)

FILE SEGMENT: 013 Dermatology and Venereology  
017 Public Health, Social Medicine and Epidemiology  
030 Clinical and Experimental Pharmacology  
037 Drug Literature Index  
038 Adverse Reactions Titles  
052 Toxicology

LANGUAGE: English

SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 3 Jul 2008

Last Updated on STN: 3 Jul 2008

AB Background: Pompholyx is a chronic relapsing inflammatory vesicobullous skin disease of the hands and feet belonging to the spectrum of eczema. Established treatments, both topical and systemic, are limited in efficacy, risk:benefit ratio and prevention of further relapses. New treatment options are needed. Objective: The article will discuss new treatment options, in particular for cheiropompholyx. Methods: A MEDLINE® and ClinicalTrials.gov® research has been conducted and publications about new and emerging treatments for pompholyx have been analysed. Results/conclusions: Among the recent developments, topical calcineurin inhibitors (TCI) and botulinum toxin A (BTXA) seem to be effective against pompholyx. The major disadvantage of BTXA is the need for injections, but efforts are being made to develop a topical form of application. Bexaroten gel has been used for chronic hand dermatitis, with good efficacy in the hyperkeratotic type. Further studies on pompholyx are needed. There is currently widespread interest in plant-based pharmaceuticals. Studies involving such topical drugs are on the way. In systemic treatment, retinoid alitretinoin has been most extensively studied in hand dermatitis. However, experiences relating to pompholyx are more limited. New types of anti-inflammatory oral drugs such as leukotriene inhibitors and phosphodiesterase-4 (PDE4) inhibitors have become available. These seem to have potential in the adjuvant treatment of pompholyx. Monoclonal antibodies of various types have been investigated in small series, but have failed to demonstrate consistent efficacy. Further investigations with new rhonoclonals are needed. Phototherapy of pompholyx is a cornerstone in treatment. High-dose UVA1 has been established as an effective modality in centres where the rather expensive equipment is available. Recently, UV-free phototherapy has been introduced, but more data are needed before final conclusions can be drawn. .COPYRG. 2008 Informa UK Ltd.

L11 ANSWER 12 OF 17 EMBASE COPYRIGHT (c) 2009 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2008024763 EMBASE

TITLE: Treating COPD with PDE 4 inhibitors.

AUTHOR: Brown, William M. (correspondence)

CORPORATE SOURCE: VaxDesign Corp, 2721 Discovery Drive, Orlando, FL 32826, United States. wbrown@vaxdesign.com

SOURCE: International Journal of COPD, (2007) Vol. 2, No. 4, pp. 517-533.

Refs: 270

ISSN: 1176-9106

COUNTRY: New Zealand

DOCUMENT TYPE: Journal; General Review; (Review)

FILE SEGMENT: 015 Chest Diseases, Thoracic Surgery and Tuberculosis  
026 Immunology, Serology and Transplantation  
030 Clinical and Experimental Pharmacology  
037 Drug Literature Index  
038 Adverse Reactions Titles  
052 Toxicology

LANGUAGE: English

SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 13 Feb 2008

Last Updated on STN: 13 Feb 2008

AB While the pathogenesis of chronic obstructive pulmonary disease (COPD) is incompletely understood, chronic inflammation is a major factor. In fact, the inflammatory response is abnormal, with CD8(+) T-cells, CD68(+) macrophages, and neutrophils predominating in the conducting airways, lung parenchyma, and pulmonary vasculature. Elevated levels of the second messenger cAMP can inhibit some inflammatory processes. Theophylline has long been used in treating asthma; it causes bronchodilation by inhibiting cyclic nucleotide phosphodiesterase (PDE), which inactivates cAMP. By inhibiting PDE, theophylline increases cAMP, inhibiting inflammation and relaxing airway smooth muscle. Rather than one PDE, there are now known to be more than 50, with differing activities, substrate preferences, and tissue distributions. Thus, the possibility exists of selectively inhibiting only the enzyme(s) in the tissue(s) of interest. PDE 4 is the primary cAMP-hydrolyzing enzyme in inflammatory and immune cells (macrophages, eosinophils, neutrophils). Inhibiting PDE 4 in these cells leads to increased cAMP levels, down-regulating the inflammatory response. Because PDE 4 is also expressed in airway smooth muscle and, in vitro, PDE 4 inhibitors relax lung smooth muscle, selective PDE 4 inhibitors are being developed for treating COPD. Clinical studies have been conducted with PDE 4 inhibitors; this review concerns those reported to date.  
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L11 ANSWER 13 OF 17 EMBASE COPYRIGHT (c) 2009 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2007223472 EMBASE

TITLE: Therapeutic benefit of PDE4 inhibitors in inflammatory diseases.

AUTHOR: Dastidar, Sunanda G. (correspondence); Rajagopal, Deepa; Ray, Abhijit

CORPORATE SOURCE: Ranbaxy Research Laboratories, Department of Pharmacology, New Drug Discovery Research, Gurgaon 122 001, India.  
sunanda.dastidar@ranbaxy.com

SOURCE: Current Opinion in Investigational Drugs, (May 2007) Vol. 8, No. 5, pp. 364-372.

Refs: 101

ISSN: 1472-4472 CODEN: CIDREE

COUNTRY: United Kingdom

DOCUMENT TYPE: Journal; General Review; (Review)

FILE SEGMENT: 026 Immunology, Serology and Transplantation  
037 Drug Literature Index  
038 Adverse Reactions Titles

LANGUAGE: English

SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 5 Jun 2007

Last Updated on STN: 5 Jun 2007

AB Intracellular levels of cyclic nucleotides are closely regulated by distinct families of PDEs, which are responsible for the breakdown and degradation of cyclic nucleotides within cells. Type 4 PDEs have the potency to modulate the release of inflammatory mediators through cAMP-dependent and -independent mechanisms. Selective targeting of PDE4 is currently being investigated as a novel therapeutic approach in the treatment of inflammation-associated respiratory diseases such as asthma and COPD. The development of several PDE4 inhibitors, including roflumilast and cilomilast, reflects the success of this approach. In principle, therapeutic intervention of an inflammatory response by PDE4 inhibitors may be extended to other chronic inflammatory disease states such as psoriasis, rheumatoid arthritis and inflammatory bowel diseases (eg, Crohn's disease and ulcerative colitis). This review explores the feasibility of PDE4 inhibitors as a promising alternative for therapeutic intervention in systemic inflammation and inflammation-based disease.

.COPYRGT. The Thomson Corporation.

L11 ANSWER 14 OF 17 EMBASE COPYRIGHT (c) 2009 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2006114038 EMBASE  
TITLE: Phosphodiesterase inhibitors in airways disease.  
AUTHOR: Fan Chung, Kian (correspondence)  
CORPORATE SOURCE: National Heart and Lung Institute, Imperial College, Dovehouse St., London SW3, United Kingdom. f.chung@imperial.ac.uk  
SOURCE: European Journal of Pharmacology, (8 Mar 2006) Vol. 533, No. 1-3, pp. 110-117.  
Refs: 81  
ISSN: 0014-2999 CODEN: EJPHAZ  
PUBLISHER IDENT.: S 0014-2999(05)01391-9  
COUNTRY: Netherlands  
DOCUMENT TYPE: Journal; General Review; (Review)  
FILE SEGMENT: 015 Chest Diseases, Thoracic Surgery and Tuberculosis  
030 Clinical and Experimental Pharmacology  
037 Drug Literature Index  
038 Adverse Reactions Titles  
LANGUAGE: English  
SUMMARY LANGUAGE: English  
ENTRY DATE: Entered STN: 24 Apr 2006  
Last Updated on STN: 24 Apr 2006

AB Phosphodiesterases hydrolyse intracellular cyclic nucleotides, cyclic adenosine monophosphate (cAMP) and cyclic guanosine monophosphate (cGMP) into inactive 5' monophosphates, and exist as 11 families. They are found in a variety of inflammatory and structural cells. Inhibitors of PDEs allow the elevation of cAMP and cGMP which lead to a variety of cellular effects including airway smooth muscle relaxation and inhibition of cellular inflammation or of immune responses. PDE4 inhibitors specifically prevent the hydrolysis of cAMP, and PDE4 isozymes are present in inflammatory cells. Selective PDE4 inhibitors have broad spectrum anti-inflammatory effects such as inhibition of cell trafficking, cytokine and chemokine release from inflammatory cells, such as neutrophils, eosinophils, macrophages and T cells. The second generation PDE4 inhibitors, cilomilast and roflumilast, have reached clinical trial stage and have some demonstrable beneficial effects in asthma and chronic obstructive pulmonary disease (COPD). The effectiveness of these PDE4 inhibitors may be limited by their clinical potency using doses that have minimal effects on nausea and vomiting. Topical administration of PDE4 inhibitors may provide a wider effective to side-effect profile. Development of inhibitors of other PDE classes, combined with PDE4 inhibition, may be another way forward. PDE5 is an inactivator of cGMP and may have beneficial effects on hypoxic pulmonary hypertension and vascular remodelling. PDE3 and PDE7 are other cAMP specific inactivators of cAMP. PDE7 is involved in T cell activation and a dual PDE4-PDE7 inhibitor may be more effective in asthma and COPD. A dual PDE3-PDE4 compound may provide more bronchodilator and bronchoprotective effect in addition to the beneficial PDE4 effects. .COPYRGT. 2006 Elsevier B.V. All rights reserved.

L11 ANSWER 15 OF 17 EMBASE COPYRIGHT (c) 2009 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2003228997 EMBASE  
TITLE: Emerging treatments for allergic rhinitis.  
AUTHOR: Andersson, Morgan (correspondence)  
CORPORATE SOURCE: Department of Otorhinolaryngology, University Hospital, SE-221 85 Lund, Sweden. Morgan.Andersson@onh.lu.se  
SOURCE: Expert Opinion on Emerging Drugs, (May 2003) Vol. 8, No. 1, pp. 63-69.

Refs: 31  
ISSN: 1472-8214 CODEN: EOEDA3  
COUNTRY: United Kingdom  
DOCUMENT TYPE: Journal; General Review; (Review)  
FILE SEGMENT: 011 Otorhinolaryngology  
030 Clinical and Experimental Pharmacology  
036 Health Policy, Economics and Management  
037 Drug Literature Index  
038 Adverse Reactions Titles  
LANGUAGE: English  
SUMMARY LANGUAGE: English  
ENTRY DATE: Entered STN: 26 Jun 2003  
Last Updated on STN: 26 Jun 2003

AB Allergic rhinitis has increased in prevalence and afflicts almost a fourth of the younger population in westernised countries. Recent discoveries concerning the pathophysiology of the allergic reaction have led to an increase in research for new and improved remedies for allergic rhinitis. Pharmacological research in the field of allergic rhinitis concentrates on selective agents that may block or inhibit the release or actions of certain mediators or cytokines. The complexity of the allergic inflammatory process, however, may question the benefit of this research, unless the drug interferes early in allergic processes. Current treatments such as antihistamines and intranasal steroids can also be improved, displaying better clinical potency with fewer side effects. All novel treatments, however, must measure up with the present ones, in terms of both clinical and cost effectiveness.

L11 ANSWER 16 OF 17 EMBASE COPYRIGHT (c) 2009 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2000413538 EMBASE  
TITLE: Animal models of allergic rhinitis.  
AUTHOR: Szelenyi, I., Dr. (correspondence); Marx, D.; Jahn, W.  
CORPORATE SOURCE: Pulmonary Pharmacology (BF-FP2), Meissnerstr. 191, 01445 Radebeul, Germany. stefan.szelenyi@astamedica.de  
SOURCE: Arzneimittel-Forschung/Drug Research, (2000) Vol. 50, No. 11, pp. 1037-1042.

Refs: 44  
ISSN: 0004-4172 CODEN: ARZNAD  
COUNTRY: Germany  
DOCUMENT TYPE: Journal; Article  
FILE SEGMENT: 011 Otorhinolaryngology  
026 Immunology, Serology and Transplantation  
030 Clinical and Experimental Pharmacology  
037 Drug Literature Index

LANGUAGE: English  
SUMMARY LANGUAGE: English; German  
ENTRY DATE: Entered STN: 14 Dec 2000  
Last Updated on STN: 14 Dec 2000

AB Actively sensitized Brown Norway rats and guinea pig are useful species for studying drug effects on symptoms of experimental rhinitis. Even if not all symptoms of human rhinitis can be induced and detected in the same animal species, the predictability of methods generally used is well acceptable. In the present review, advantages and disadvantages of experimental methods of rhinitis will be discussed.

L11 ANSWER 17 OF 17 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN

ACCESSION NUMBER: 2003:307114 BIOSIS  
DOCUMENT NUMBER: PREV200300307114  
TITLE: The phosphodiesterase 4 inhibitors AWD 12 -281 and cilomilast exhibit different

effectiveness in the prevention and treatment of inflammatory reactions in a model of allergic dermatitis.

AUTHOR(S): Baeumer, W. [Reprint Author]; Hoppmann, J.; Tschernig, T.; Seegers, U. [Reprint Author]; Rundfeldt, C.; Kietzmann, M. [Reprint Author]

CORPORATE SOURCE: Depts of Pharmacology, Toxicology and Pharmacy, School of Veterinary Medicine, 30559, Hannover, Germany

SOURCE: Naunyn-Schmiedeberg's Archives of Pharmacology, (March 2003) Vol. 367, No. Supplement 1, pp. R77. print. Meeting Info.: 44th Spring Meeting of the Deutsche Gesellschaft fuer Experimentelle und Klinische Pharmakologie und Toxikologie and the 20th Meeting of the Gesellschaft fuer Umwelt-Mutationsforschung. Mainz, Germany. March 17-20, 2003. ISSN: 0028-1298 (ISSN print).

DOCUMENT TYPE: Conference; (Meeting)  
Conference; Abstract; (Meeting Abstract)

LANGUAGE: English

ENTRY DATE: Entered STN: 2 Jul 2003  
Last Updated on STN: 2 Jul 2003

=> s topical?

L12 316904 TOPICAL?

=> s l9 and L12

L13 17 L9 AND L12

=> e topical

E1	2	TOPICAINA/BI
E2	11	TOPICAINE/BI
E3	297754 -->	TOPICAL/BI
E4	1	TOPICALACH/BI
E5	2	TOPICALADMINISTRATION/BI
E6	1	TOPICALANAESTHESIA/BI
E7	1	TOPICALANESTHESIA/BI
E8	1	TOPICALAPPUCATION/BI
E9	1	TOPICALCORTICOSTEROID/BI
E10	1	TOPICALCYCLOSPORINE/BI
E11	1	TOPICALDRUGS/BI
E12	9	TOPICALE/BI

=> s dermal? or skin or topical

L14 1792622 DERMAL? OR SKIN OR TOPICAL

=> s dermal? or skin or topical?

L15 1806286 DERMAL? OR SKIN OR TOPICAL?

=> s L7 and L15

L16 29 L7 AND L15

=> s L16 NOT L11

L17 12 L16 NOT L11

=> d 1-12 L17 ibib abs

L17 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1007107 CAPLUS

DOCUMENT NUMBER: 149:315569

TITLE: Therapeutic release agents, esters of alkylcarbamic acids, as inhibitors of fatty acid amide hydrolase



activity  
INVENTOR(S): Dasse, Olivier; Parrott, Jeff A.; Putman, David; Adam, Julia  
PATENT ASSIGNEE(S): N.V. Organon, Neth.  
SOURCE: PCT Int. Appl., 250pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008100977	A2	20080821	WO 2008-US53785	20080213
WO 2008100977	A3	20081218		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2007-889909P P 20070214  
US 2007-948082P P 20070705

OTHER SOURCE(S): MARPAT 149:315569

AB Pharmacol. inhibition of fatty acid amide hydrolase (FAAH) activity leads to increased levels of fatty acid amides. Esters of alkylcarbamic acids are disclosed that are inhibitors of FAAH activity. Compds. disclosed herein inhibit FAAH activity. Described herein are processes for the preparation of esters of alkylcarbamic acid compds., compns. that include them, and methods of use thereof. Thus, to prepare a parenteral pharmaceutical composition for injection, 100 mg of a water-soluble salt of a compound of the invention was dissolved in DMSO and mixed with 10 mL of 0.9% sterile saline; the mixture was incorporated into dosage form unit suitable for administration by injection.

L17 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:702698 CAPLUS

DOCUMENT NUMBER: 147:125811

TITLE: Combination comprising cyclooxygenase and lipooxygenase inhibitor for managing inflammation and associated disorders

INVENTOR(S): Jain, Rajesh; Jindal, Kour Chand

PATENT ASSIGNEE(S): Panacea Biotec Ltd., India

SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007072503	A2	20070628	WO 2006-IN496	20061218
WO 2007072503	A3	20071101		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: IN 2005-DE3431 A 20051221

AB This invention relates to pharmaceutical compns. comprising at least one analgesic and anti-inflammatory compound(s) that inhibits both cyclooxygenase (COX) and lipooxygenase (LOX) as active agent in combination with at least one another active agent(s) optionally with other pharmaceutically, acceptable excipients is provided. Also described are process for preparation of such compns. and method of using such compns. for the management of inflammation and pain and/or other associated disorders. Thus, tablet was prepared containing licofelone 200 mg, nimesulide 100 mg, AvicelPH 101 50 mg, lactose monohydrate 35 mg, starch 1500 30 mg, sodium lauryl sulfate 20 mg, croscarmellose sodium 15 mg, silicone dioxide 5 mg, starch 20 mg, magnesium stearate 5 mg, talc 5 mg and purified water as needed.

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L17 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1256669 CAPLUS

DOCUMENT NUMBER: 146:20293

TITLE: Novel medicament combinations for the treatment of respiratory diseases

INVENTOR(S): Pieper, Michael P.; Schnapp, Andreas; Nickolaus, Peter

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany

SOURCE: U.S. Pat. Appl. Publ., 33pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
US 20060270667	A1	20061130	US 2006-420872	20060530
CA 2609429	A1	20061207	CA 2006-2609429	20060529
WO 2006128847	A2	20061207	WO 2006-EP62683	20060529
WO 2006128847	A3	20070426		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
EP 1893203	A2	20080305	EP 2006-763340	20060529
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
JP 2008542332	T	20081127	JP 2008-514079	20060529

PRIORITY APPLN. INFO.: EP 2005-104702 A 20050531  
WO 2006-EP62683 W 20060529

OTHER SOURCE(S): MARPAT 146:20293

AB The present invention relates to new medicament combinations which contain in addition to one or more, preferably one, betamimetic, at least one anticholinergic and at least one PDE-IV inhibitor processes for preparing them and their use as pharmaceutical compns.

L17 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:365169 CAPLUS

DOCUMENT NUMBER: 144:419682

TITLE: Pharmaceutical compositions containing phosphodiesterase IV inhibitors and immunosuppressants  
INVENTOR(S): Harada, Daisuke; Kobayashi, Katsuya; Manabe, Haruhiko; Ohshima, Etsuo

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	---	-----	-----	-----
WO 2006041120	A1	20060420	WO 2005-JP18854	20051013
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2584261	A1	20060420	CA 2005-2584261	20051013
EP 1813284	A1	20070801	EP 2005-793647	20051013
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 20080085858	A1	20080410	US 2007-576970	20070410
PRIORITY APPLN. INFO.:			JP 2004-299104	A 20041013
			JP 2005-113265	A 20050411
			WO 2005-JP18854	W 20051013

AB This invention relates to pharmaceutical compns. for the prevention and treatment of chronic skin diseases, comprising (a) a phosphodiesterase (PDE)-IV inhibitor or a pharmacol. acceptable salt thereof and (b) an immunosuppressant, which are administered simultaneously or sep. with an interval. For example, tablets were formulated containing 2-(3,5-dichloro-4-pyridinyl)-1-(7-methoxyspiro[1,3-benzodioxole-2,1'-cyclopentan]-4-yl)ethanone (PDE-IV inhibitor) 20, tacrolimus (immunosuppressant) 20, lactose 123.4, starch 20, hydroxypropyl cellulose 6, and Mg stearate 0.6 mg per tablet.

L17 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:364924 CAPLUS

DOCUMENT NUMBER: 144:398341

TITLE: Phosphodiesterase IV inhibitor and steroid combinations for the treatment of chronic skin disease

INVENTOR(S): Harada, Daisuke; Kobayashi, Katsuya; Manabe, Haruhiko;  
 Ohshima, Etsuo  
 PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 67 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006041121	A1	20060420	WO 2005-JP18855	20051013
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM CA 2584169 A1 20060420 CA 2005-2584169 20051013 EP 1810692 A1 20070725 EP 2005-793699 20051013 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR US 20070287689 A1 20071213 US 2007-576972 20070410 PRIORITY APPLN. INFO.: JP 2004-299103 A 20041013 JP 2005-113264 A 20050411 WO 2005-JP18855 W 20051013				

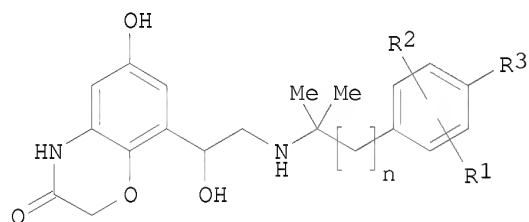
AB It is intended to provide a remedy and/or a preventive for a chronic skin disease which comprises (a) a phosphodiesterase (PDE)-IV inhibitor or a pharmacol. acceptable salt thereof and (b) a steroid drug, which are administered simultaneously or sep. at an interval. For example, tablets were formulated containing 2-(3,5-dichloro-4-pyridinyl)-1-(7-methoxy Spiro[1,3-benzodioxole-2,1'-cyclopentan]-4-yl)ethanone 50, prednisolone 20, lactose 123.4, starch 20, hydroxypropyl cellulose 6, and Mg stearate 0.6 mg per tablet.

L17 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2005:1155523 CAPLUS  
 DOCUMENT NUMBER: 143:416252  
 TITLE: Novel medicament combinations for the treatment of respiratory diseases  
 PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany  
 SOURCE: U.S. Pat. Appl. Publ., 50 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050239778	A1	20051027	US 2005-109094	20050419
DE 102004019540	A1	20051110	DE 2004-102004019540	20040422
DE 102004052987	A1	20060504	DE 2004-102004052987	20041103
AU 2005235419	A1	20051103	AU 2005-235419	20050418
CA 2559699	A1	20051103	CA 2005-2559699	20050418

WO 2005102349	A1	20051103	WO 2005-EP4073	20050418
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1781298	A1	20070509	EP 2005-739576	20050418
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101035540	A	20070912	CN 2005-80012621	20050418
BR 2005010080	A	20071016	BR 2005-10080	20050418
JP 2007533683	T	20071122	JP 2007-508805	20050418
SG 152237	A1	20090529	SG 2009-2525	20050418
ZA 2006006624	A	20080130	ZA 2006-6624	20060808
MX 2006011721	A	20061211	MX 2006-11721	20061010
NO 2006005060	A	20061121	NO 2006-5060	20061102
KR 2007015592	A	20070205	KR 2006-724528	20061122
PRIORITY APPLN. INFO.:			DE 2004-102004019540A	20040422
			US 2004-578542P	P 20040610
			DE 2004-102004052987A	20041103
			EP 2005-2496	A 20050207
			WO 2005-EP4073	W 20050418

OTHER SOURCE(S): MARPAT 143:416252  
GI



AB The present invention relates to a pharmaceutical composition comprising one or more compds. of formula I wherein n denotes 1 or 2; R1 denotes hydrogen, halogen, C1-C4-alkyl or -O-C1-C4-alkyl; R2 denotes hydrogen, halogen, C1-C4-alkyl or -O-C1-C4-alkyl; R3 denotes C1-C4-alkyl, OH, halogen, -O-C1-C4-alkyl, -O-C1-C4-alkylene-COOH, -O-C1-C4-alkylene-CO-O-C1-C4-alkyl, and at least one other active substance for the treatment of respiratory diseases. The second active substance can be an anticholinergic, a phosphodiesterase IV inhibitor, a steroid, a LTD4 antagonist or an EGFR inhibitor.

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L17 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:823606 CAPLUS

DOCUMENT NUMBER: 143:206419

TITLE: Treatment of rhinitis with anticholinergics alone or in combination with antihistamines, phosphodiesterase 4 inhibitors, or corticosteroids

INVENTOR(S): Maus, Joachim; Petzold, Ursula; Szelenyi, Istvan;  
 Hoffmann, Torsten; Weingart, Mario  
 PATENT ASSIGNEE(S): Sofotec G.m.b.H. & Co. K.-G., Germany  
 SOURCE: PCT Int. Appl., 16 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	---	-----	-----	-----
WO 2005074983	A2	20050818	WO 2005-EP653	20050124
WO 2005074983	A3	20060413		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005210086	A1	20050818	AU 2005-210086	20050124
CA 2552458	A1	20050818	CA 2005-2552458	20050124
EP 1713472	A2	20061025	EP 2005-701142	20050124
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU			
CN 1913882	A	20070214	CN 2005-80004043	20050124
JP 2007520509	T	20070726	JP 2006-551764	20050124
US 20050222102	A1	20051006	US 2005-51470	20050207
IN 2006KN01903	A	20070518	IN 2006-KN1903	20060707
MX 2006008935	A	20061002	MX 2006-8935	20060804
NO 2006003881	A	20061102	NO 2006-3881	20060831
PRIORITY APPLN. INFO.:			US 2004-541950P	P 20040206
			WO 2005-EP653	W 20050124
			WO 2005-US653	W 20050124

AB The invention provides combinations comprising a topical anticholinergic drug alone or in combination with topically administered antihistamines, topically or orally administered phosphodiesterase 4 inhibitors or topical corticosteroids for the treatment of rhinitis of various origins. It further comprises presentation of these combinations in locally applied formulations and includes various pharmaceutical formulations suitable for topical application, e.g. nasal sprays, nasal drops, emulsions, pastes, creams and gels.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L17 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:775804 CAPLUS

DOCUMENT NUMBER: 140:104940

TITLE: In vivo efficacy in airway disease models of N-(3,5-dichloropyrid-4-yl)-[1-(4-fluorobenzyl)-5-hydroxyindole-3-yl]glyoxylic acid amide (AWD 12-281), a selective phosphodiesterase 4 inhibitor for inhaled administration

AUTHOR(S): Kuss, H.; Hoefgen, N.; Johanssen, S.; Kronbach, T.;

CORPORATE SOURCE: Rundfeldt, C.  
 Department of Pharmacology, Elbion AG, Radebeul,  
 Germany  
 SOURCE: Journal of Pharmacology and Experimental Therapeutics  
 (2003), 307(1), 373-385  
 CODEN: JPETAB; ISSN: 0022-3565  
 PUBLISHER: American Society for Pharmacology and Experimental  
 Therapeutics  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB AWD 12-281 is a highly potent and selective  
 phosphodiesterase 4 (PDE4) inhibitor that was designed to have a metabolic  
 profile that was optimized for topical administration. The aim  
 of the current study was to explore the pharmacol. profile of  
 intratracheally administered AWD 12-281 in  
 different models of asthma and chronic obstructive pulmonary disease  
 (COPD) in comparison with steroids. To assess the anti-inflammatory  
 potential of AWD 12-281, the antigen-induced  
 cell infiltration in bronchoalveolar lavage fluid (BALF) of Brown Norway  
 rats was determined AWD 12-281 (ID50 of 7  
 µg/kg i.t.) as well as beclomethasone (0.1 µg/kg i.t.) suppresses  
 late-phase eosinophilia when administered intrapulmonary. Furthermore,  
 AWD 12-281 has also strong anti-inflammatory  
 properties when tested in lipopolysaccharide-induced acute lung  
 neutrophilia in Lewis rats (ID50 of 0.02 µg/kg i.t.), ferrets (ID50 of  
 10 µg/kg i.t.), and domestic pigs (2-4 mg/pig i.t. or 1 mg/kg i.v.).  
 In pigs, AWD 12-281 was as effective as  
 beclomethasone (0.4 mg/pig i.t.) and dexamethasone (0.28 mg/kg i.v.),  
 although at 3 to 10 times the dosage. The bronchodilatory activity of  
 AWD 12-281 was assessed in sensitized guinea  
 pigs. AWD 12-281 (1.5 mg/kg i.t., 1-h  
 pretreatment) inhibited allergen-induced bronchoconstriction by 68%  
 (parameter airway resistance). In sensitized BP-2 mice AWD  
 12-281 abolished the allergen-induced bronchial  
 hyperresponsiveness and eosinophilia in BALF, showing dose dependence.  
 When given orally, i.v. or i.t., AWD 12-281  
 has a considerably lower emetic potential than cilomilast in ferrets and  
 roflumilast in pigs. When given topically by inhalation, no  
 emesis could be induced in dogs up to the highest feasible dose (15 mg/kg  
 in 50% lactose blend). These results indicate that AWD  
 12-281 is a unique potential new drug for the  
 topical treatment of asthma and COPD.

OS.CITING REF COUNT: 47 THERE ARE 47 CAPLUS RECORDS THAT CITE THIS  
 RECORD (47 CITINGS)  
 REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 9 OF 12 EMBASE COPYRIGHT (c) 2009 Elsevier B.V. All rights  
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ACCESSION NUMBER: 2009140921 EMBASE  
 TITLE: 2 PDE4 Inhibitors - A Review of the Current Field.  
 AUTHOR: Press, Neil J. (correspondence); Banner, Katharine H.  
 CORPORATE SOURCE: Novartis Institutes for Biomedical Research, Horsham, West  
 Sussex RH12 5AB, United Kingdom.  
 SOURCE: Progress in Medicinal Chemistry, (2009) Vol. 47, pp. 37-74.  
 Editor: Lawton, G., Garden Fields, Stevenage Road, St.  
 Ippolyts, Herts SG4 7PE  
 Editor: Witty, D.R., GlaxoSmithKline, New Frontiers Science  
 Park (North), Third Avenue, Harlow, Essex CM19 5AW  
 Refs: 219  
 ISSN: 0079-6468 ISBN: 9780444533005  
 PUBLISHER: Elsevier, P.O. Box 211, Amsterdam, 1000 AE, Netherlands.

PUBLISHER IDENT.: S 0079-6468(08)00202-6  
COUNTRY: Netherlands  
DOCUMENT TYPE: Book; Series; (Book Series); General Review; (Review)  
FILE SEGMENT: 008 Neurology and Neurosurgery  
015 Chest Diseases, Thoracic Surgery and Tuberculosis  
030 Clinical and Experimental Pharmacology  
037 Drug Literature Index  
038 Adverse Reactions Titles  
052 Toxicology  
LANGUAGE: English  
ENTRY DATE: Entered STN: 3 Apr 2009  
Last Updated on STN: 3 Apr 2009

L17 ANSWER 10 OF 12 EMBASE COPYRIGHT (c) 2009 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2007512591 EMBASE  
TITLE: Novel 5,6-dihydropyrazolo-[3,4-E][1,4]diazepin-4 (1H)-one derivatives for the treatment of asthma and chronic obstructive pulmonary disease: AstraZeneca: WO2007040435.  
AUTHOR: Dyke, Hazel J. (correspondence)  
CORPORATE SOURCE: Argenta Discovery, 8/9 Spire Green Centre, Harlow, Essex CM19 5TR, United Kingdom.  
SOURCE: Expert Opinion on Therapeutic Patents, (2007) Vol. 17, No. 9, pp. 1183-1189.  
Refs: 37  
ISSN: 1354-3776 CODEN: EOTPEG  
COUNTRY: United Kingdom  
DOCUMENT TYPE: Journal; Article  
FILE SEGMENT: 015 Chest Diseases, Thoracic Surgery and Tuberculosis  
030 Clinical and Experimental Pharmacology  
037 Drug Literature Index  
038 Adverse Reactions Titles  
LANGUAGE: English  
SUMMARY LANGUAGE: English  
ENTRY DATE: Entered STN: 29 Nov 2007  
Last Updated on STN: 29 Nov 2007

AB This application claims dihydropyrazolodiazepinones as phosphodiesterase 4(PDE4) inhibitors for the treatment of asthma and chronic obstructive pulmonary disease. The compounds are shown to be potent inhibitors of PDE4B2, but no other biological data are provided. Thus, it is not clear whether these compounds provide any advantage over previously described PDE4 inhibitors or whether the issues frequently associated with PDE4 inhibitors have been addressed. .COPYRG. 2007 Informa UK Ltd.

L17 ANSWER 11 OF 12 EMBASE COPYRIGHT (c) 2009 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2004374401 EMBASE  
TITLE: Biosaxony - In biotech, saxony matters.  
AUTHOR: Kassessinoff, Tatiana, Dr. (correspondence)  
CORPORATE SOURCE: Biopolis Consultants GmbH. kassessinoff@biosaxony.com  
AUTHOR: Kassessinoff, Tatiana, Dr. (correspondence)  
CORPORATE SOURCE: LION bioscience AG, Heidelberg, Germany. kassessinoff@biosaxony.com  
SOURCE: EBR - European Biopharmaceutical Review, (Mar 2004) No. SPRING, pp. 112-118.  
ISSN: 1364-369X CODEN: EBRUAS  
COUNTRY: United Kingdom  
DOCUMENT TYPE: Journal; Article  
FILE SEGMENT: 015 Chest Diseases, Thoracic Surgery and Tuberculosis  
017 Public Health, Social Medicine and Epidemiology  
027 Biophysics, Bioengineering and Medical Instrumentation



037 Drug Literature Index  
 LANGUAGE: English  
 SUMMARY LANGUAGE: English  
 ENTRY DATE: Entered STN: 16 Sep 2004  
 Last Updated on STN: 16 Sep 2004

AB In times such as these, when almost every hamlet with a stop sign claims to be a biotechnology cluster, it is hard to distinguish which bioregions are worth taking seriously in terms of their real offerings and, perhaps more importantly, their likelihood of survival. biosaxony, the biotechnology region in Saxony, Germany, is a serious cluster, and one that takes these issues to heart. Although criticised for being a late-comer, biosaxony has capitalised on the mistakes of other earlier ventures into the cluster world and distinguished itself right from the start by not becoming just another cluster, but a total concept.

L17 ANSWER 12 OF 12 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN

ACCESSION NUMBER: 2001:297031 BIOSIS  
 DOCUMENT NUMBER: PREV200100297031  
 TITLE: Studies with AWD 12281 in the skin of sensitized mice.  
 AUTHOR(S): Ehinger, A. M. [Reprint author]; Gorr, G. [Reprint author]; Hoppmann, J. [Reprint author]; Telser, E. [Reprint author]; Kietzmann, M. [Reprint author]  
 CORPORATE SOURCE: Institut fuer Pharmakologie, Toxikologie und Pharmazie, Tieraerztliche Hochschule Hannover, Buenteweg 17, D-30559, Hannover, Germany  
 SOURCE: Naunyn-Schmiedeberg's Archives of Pharmacology, (2001) Vol. 363, No. 4 Supplement, pp. R85. print.  
 Meeting Info.: 42nd Spring Meeting of the German Society for Experimental and Clinical Pharmacology and Toxicology. Mainz, Germany. March 13-15, 2001. German Society for Experimental and Clinical Pharmacology and Toxicology.  
 CODEN: NSAPCC. ISSN: 0028-1298.  
 DOCUMENT TYPE: Conference; (Meeting)  
 Conference; Abstract; (Meeting Abstract)  
 LANGUAGE: English  
 ENTRY DATE: Entered STN: 20 Jun 2001  
 Last Updated on STN: 19 Feb 2002

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